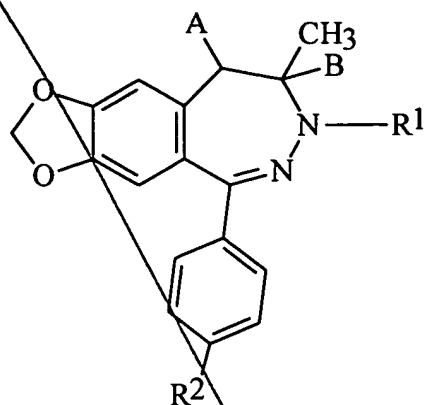


Claim 1. (Twice Amended) A 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

-(CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen

C1  
 D1  
 Cont

atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, or A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula -CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanlyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally

C1  
D1  
Cont

substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy

group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-4</sub> alkyl group, and
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-14</sub> alkyl

group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group, and pharmaceutically suitable acid addition salts thereof.

Claim 2. (Twice Amended) A 1,3-dioxolo-[4,5-h][2,3]

benzodiazepine compound as claimed in Claim 1, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

$R^1$  stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

R represents a chloro atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein

$R^3$  and  $R^4$  mean, independently, a hydrogen atom, a cyclopropyl group, a  $C_{1-4}$  alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s), or a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and the heterocyclic group is optionally substituted by a phenyl group

which latter is optionally substituted by 1 to 3 methoxy groups, or

$R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,

$n$  has a value of 0, 1 or 2,

$m$  has a value of 0, 1 or 2,

$R^2$  stands for a nitro group or an amino group, with the proviso that

- 1) if  $n$  and  $m$  have a value of 0, then one of  $R^3$  and  $R^4$  represents a hydrogen atom, and the other of  $R^3$  and  $R^4$  is different from a hydrogen atom, a phenyl group or a  $C_{1-4}$  alkyl group, and
- 2) if  $n$  has a value of 0,  $m$  has a value of 1 or 2, and one of  $R^3$  and  $R^4$  stands for a hydrogen atom or a  $C_{1-4}$  alkyl group, then the other of  $R^3$  and  $R^4$  is different from a hydrogen atom or a  $C_{1-4}$  alkyl group,

and pharmaceutically suitable acid addition salts thereof.

C2  
Claim 5. (Twice Amended) A 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 1, wherein in formula I

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is optionally substituted by one or two C<sub>1-2</sub> alkoxy group(s), or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituents(s) selected from the group consisting of a hydroxy

C2

group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a C<sub>1-4</sub> alkoxy group,

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group or an amino group, with the proviso that

- 1) if R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group, and
- 2) if R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 6. (Twice Amended) A 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 5, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R<sup>2</sup> represents a nitro group or an amino group,

R<sup>1</sup> stands for a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein



C<sup>2</sup>  
R<sup>6</sup> means a chloro atom, a phenoxy group, or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> represent, independently, a hydrogen atom, a guanyl group or a C<sub>1-3</sub> alkyl group optionally substituted by a phenyl group, a dimethoxyphenyl group or a morpholino group, or

R<sup>7</sup> and R<sup>8</sup> form with the adjacent nitrogen atom, an oxopyrrolindinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group, a benzyl group or a (methoxy-phenoxy)-(hydroxypropyl) group,

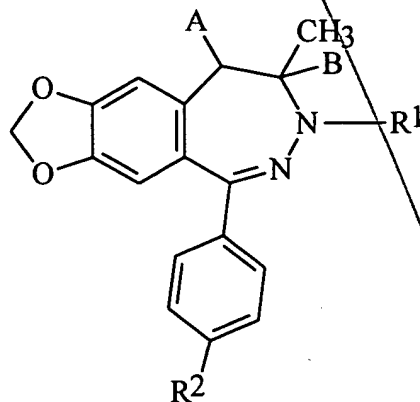
p has a value of 0, 1 or 2, with the proviso that

if R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-3</sub> alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 9. (Amended) A pharmaceutical composition

comprising a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

-(CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or

C3  
D2  
cont

a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or

R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub>

C<sup>3</sup>  
D<sup>2</sup>  
cont

alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group which latter is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s),

C<sup>3</sup>  
D<sup>2</sup>  
cont

wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-4</sub> alkyl group, and

- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-4</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-14</sub> alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).

Claim 10. (Twice Amended) A pharmaceutical composition as claimed in Claim 9 comprising a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

-(CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a chloro atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a cyclopropyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s), or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a

C<sup>3</sup>  
D<sup>2</sup>  
cont

phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or

R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group or an amino group, with the proviso that

- 1) if n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-4</sub> alkyl group, and
- 2) if n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-4</sub> alkyl group, then the other of

*C3*  
*D2*  
*cont*

~~R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or  
a C<sub>1-4</sub> alkyl group,~~

~~or a pharmaceutically suitable acid addition salt thereof  
as the active ingredient.~~

*C4*

Claim 13. (Twice Amended) A pharmaceutical composition as  
claimed in Claim 9 comprising an 8-methyl-7H-1,3-dioxolo-[4,5-  
h][2,3]benzodiazepine compound of the formula I, wherein

A forms together with B a valence bond between the carbon  
atoms in positions 8 and 9,

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub>  
alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>,  
wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a  
guanyl group, or a C<sub>1-4</sub> alkyl group which latter is  
optionally substituted by a phenyl group or a  
morpholino group, wherein the phenyl group is  
optionally substituted by one or two C<sub>1-2</sub> alkoxy  
group(s), or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen  
atom, an oxopyrrolidinyl group, a phthalimido group  
or a saturated heterocyclic group having 5 or 6



C4

members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl (C<sub>1-4</sub> alkyl) group or a phenoxy (C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a C<sub>1-4</sub> alkoxy group,

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group or an amino group, with the proviso that

- 1) if R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group, and
- 2) if R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

C4 Claim 14. (Twice Amended) A pharmaceutical composition as claimed in Claim 13 comprising an 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R<sup>2</sup> represents a nitro group or an amino group,

R<sup>1</sup> stands for a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> means a chloro atom, a phenoxy group, or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> represent, independently, a hydrogen atom, a guanyl group or a C<sub>1-3</sub> alkyl group optionally substituted by a phenyl group, a dimethoxyphenyl group or a morpholino group, or

R<sup>7</sup> and R<sup>8</sup> form with the adjacent nitrogen atom, an oxopyrrolindinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group,

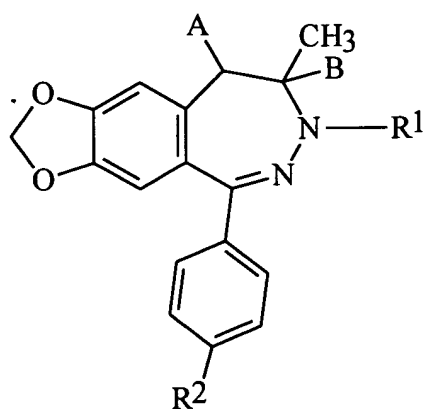
C4 a benzyl group or a (methoxy-phenoxy)-  
(hydroxypropyl) group,

p has a value of 0, 1 or 2, with the proviso that

if  $R^2$  stands for a nitro group or an amino group, p has a value of 0 or 1, and  $R^6$  represents a group of the formula  $-NR^7R^8$ , then one of  $R^7$  and  $R^8$  is different from a hydrogen atom or a  $C_{1-3}$  alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

C5  
Dunk  
193  
Claim 16. (Twice Amended) A method of treatment in which a patient suffering from epilepsy or being in a state after stroke is treated with a non-toxic dose of a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

*C5-  
D3  
cont*

$R^1$  stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$ , wherein

$R$  represents a halo atom, a pyridyl group or a group of the formula  $-NR^3R^4$ , wherein

$R^3$  and  $R^4$  mean, independently, a hydrogen atom, a  $C_{3-6}$  cycloalkyl group, a  $C_{1-4}$  alkoxy group, an amino group, a phenyl group optionally substituted by one or two  $C_{1-4}$  alkyl group(s), a  $C_{1-4}$  alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a  $C_{1-4}$  alkoxy group, or  $R^3$  and  $R^4$  form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a  $C_{1-4}$  alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or

CS  
D3  
cont

more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

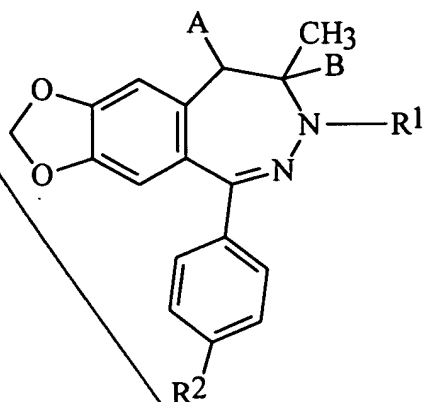
- 1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,

- C5-  
R<sup>3</sup>  
cont
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,
  - 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-14</sub> alkyl group, and
  - 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a hydrogen atom or a C<sub>1-14</sub> alkyl group, then the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,

or a pharmaceutically suitable acid addition salt thereof.

Claim 17. (Twice Amended) A process for preparing a pharmaceutical composition suitable for the treatment of epilepsy or a state after stroke, characterized in that a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,

CS-  
p3  
Cont



I

wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R<sup>1</sup> stands for a group of the formula

-(CH<sub>2</sub>)<sub>n</sub>-CO-(CH<sub>2</sub>)<sub>m</sub>-R, wherein

R represents a halo atom, a pyridyl group or a group of the formula -NR<sup>3</sup>R<sup>4</sup>, wherein

R<sup>3</sup> and R<sup>4</sup> mean, independently, a hydrogen atom, a C<sub>3-6</sub> cycloalkyl group, a C<sub>1-4</sub> alkoxy group, an amino group, a phenyl group optionally substituted by one or two C<sub>1-4</sub> alkyl group(s), a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted



by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C<sub>1-4</sub> alkoxy group, or R<sup>3</sup> and R<sup>4</sup> form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C<sub>1-4</sub> alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R<sup>1</sup> represents a group of the formula

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, wherein

R<sup>6</sup> stands for a halo atom, a phenoxy group, a C<sub>1-4</sub> alkoxy group or a group of the formula -NR<sup>7</sup>R<sup>8</sup>, wherein

R<sup>7</sup> and R<sup>8</sup> mean, independently, a hydrogen atom, a guanyl group, a C<sub>3-6</sub> cycloalkyl group or a C<sub>1-4</sub> alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and

CS-  
D<sup>3</sup>  
Cont

comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C<sub>1-4</sub> alkoxy group, or

R<sup>7</sup> and R<sup>8</sup> form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C<sub>1-4</sub> alkyl) group or a phenoxy(C<sub>1-4</sub> alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C<sub>1-4</sub> alkoxy group, and, in case of the phenoxy(C<sub>1-4</sub> alkyl)

group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R<sup>2</sup> stands for a nitro group, an amino group or a (C<sub>1-4</sub> alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group and p has a value of 0, then R<sup>6</sup> is different from a C<sub>1-4</sub> alkoxy group,
- 2) if A forms together with B a valence bond, R<sup>2</sup> stands for a nitro group or an amino group, p has a value of 0 or 1, and R<sup>6</sup> represents a group of the formula -NR<sup>7</sup>R<sup>8</sup>, then one of R<sup>7</sup> and R<sup>8</sup> is different from a hydrogen atom or a C<sub>1-4</sub> alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R<sup>3</sup> and R<sup>4</sup> represents a hydrogen atom, and the other of R<sup>3</sup> and R<sup>4</sup> is different from a hydrogen atom, a phenyl group or a C<sub>1-14</sub> alkyl group, and
- 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R<sup>3</sup> and R<sup>4</sup> stands for a

*C5*  
*D<sup>3</sup>*  
*conf*

~~hydrogen atom or a C<sub>1-4</sub> alkyl group, then the  
other of R<sup>3</sup> and R<sup>4</sup> is different from a  
hydrogen atom or a C<sub>1-4</sub> alkyl group,  
or a pharmaceutically suitable acid addition salt thereof, together  
with one or more conventional carrier(s), is converted to a  
pharmaceutical composition.~~

---